

# EUROPEAN PATENT OFFICE

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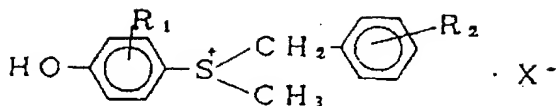
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TITLE : BENZYLATING AGENT AND  
BENZYLATION OF THIOL



ABSTRACT : PURPOSE: To enable high yield benzylation simply under mild conditions by using benzyl-4-hydroxyphenylmethylsulfonium compound as an benzylation agent and allowing the compound to react with a thiol.

CONSTITUTION: A compound of the formula (R<sub>1</sub>, R<sub>2</sub> are H, alkyl, alkoxy, halogen, nitro; X is halogen, perchlorate group. SbF<sub>6</sub>, AsF<sub>6</sub>, PF<sub>6</sub>, BF<sub>4</sub>, methyl sulfuric acid, p-toluenesulfonic acid group) is used as a benzylation agent and allowed to react with a thiol such as cysteine, peptide or protein in the presence of a base to effect benzylation. The benzylation is preferably conducted in the presence of a base such as pyridine, triethylamine or alkali hydroxide, at a pH of 8.0 to 12.0 and lower than 40°C for 1 to several hours. The reaction is preferably carried out in a buffer solution in order to maintain the above- stated pH.

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